


# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>00537/178WO1</b>		<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. <b>PCT/US99/12760</b>	International filing date (day/month/year) <b>08/06/1999</b>	Priority date (day/month/year) <b>12/06/1998</b>	
International Patent Classification (IPC) or national classification and IPC <b>C07D233/00</b>			
Applicant <b>SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATION</b>			
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 8 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>			
<p>3. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <li>I <input checked="" type="checkbox"/> Basis of the report</li> <li>II <input type="checkbox"/> Priority</li> <li>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li>IV <input checked="" type="checkbox"/> Lack of unity of invention</li> <li>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li>VI <input type="checkbox"/> Certain documents cited</li> <li>VII <input checked="" type="checkbox"/> Certain defects in the international application</li> <li>VIII <input checked="" type="checkbox"/> Certain observations on the international application</li> </ul>			
Date of submission of the demand <b>11/01/2000</b>		Date of completion of this report <b>30.06.2000</b>	
Name and mailing address of the international preliminary examining authority:  <b>European Patent Office</b> <b>D-80298 Munich</b> Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized officer  <b>Bueno Torres, M</b>  Telephone No. +49 89 2399 8290	



**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/US99/12760

**I. Basis of this report**

1. This report has been drawn on the basis of (*substitute sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments.*):

**Description, pages:**

1-320 as originally filed

**Claims, No.:**

1-32 as originally filed

2. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

3. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

4. Additional observations, if necessary:

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application.  
☒ claims Nos. 1, 22, 30-32.

because:

- ☒ the said international application, or the said claims Nos. 31-32 relate to the following subject matter which does not require an international preliminary examination (*specify*):

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**see separate sheet**

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☒ the claims, or said claims Nos. 1, 22, 30-32 are so inadequately supported by the description that no meaningful opinion could be formed.
- ☒ no international search report has been established for the said claims Nos. 1, 22, 30-32.

**IV. Lack of unity of invention**

1. In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.
- ☐ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☒ This Authority found that the requirement of unity of invention is not complied and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☒ not complied with for the following reasons:

**see separate sheet**

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☐ all parts.
- ☒ the parts relating to claims Nos. 2-21, 23-24(part), 25-29.

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## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Yes: Claims 2-21, 23-24(part), 25-29
	No: Claims
Inventive step (IS)	Yes: Claims
	No: Claims 2-21, 23-24 (part), 25-29
Industrial applicability (IA)	Yes: Claims 2-21, 23-24(part), 25-29
	No: Claims

### 2. Citations and explanations

**see separate sheet**

## VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

**see separate sheet**

## VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

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- III a) The search has been carried out for those parts of the claim which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples and closely related homologous compounds.

Claims 23 and 24 appear to have been exemplified only in the case wherein  $R_3$  is  $-\text{CH}_2\text{-indol-3-yl}$ .

Therefore, provided that the definition of  $R_3$  could be specified in claims 23 and 24, present claims 2-21, 23-29 can in principle be considered to be a reasonable generalisation of the examples given in the description and therefore relate to subject-matter for which a search report has been established. Therefore, an international preliminary examination report will be carried out only for claims **2-21, 23-24** ( $R_3 = -\text{CH}_2\text{-indol-3-yl}$ ) and 25-29.

- b) Claims 31 and 32 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

- IV. Imidazole derivatives have been already disclosed in D6 in connection with compounds having activity at the somatostatin receptors. Furthermore, the present compounds wherein  $R_3$  is hydrogen overlap with the compounds disclosed in D6. Having regard for the vast and heterogeneous meanings of  $R_1$  -  $R_5$  (especially  $R_3$ ) given in claims 1 and 22 and for the presence of the provisos (a)-(c) it is not possible to assess which is the common inventive concept linking together all the possible structural variations of compounds encompassed within the definition of claims 1 and 22. The exemplified meanings of  $R_3$  relate mainly to the following:

Ph side chain ( $R_3 = -\text{CH}_2\text{Ph}$  derivatives)

Trp side chain ( $R_3 = -\text{CH}_2\text{-Indolyl-3-yl}$  derivatives)

Lys side chain ( $R_3 = -(\text{CH}_2)_4\text{-NH-}$  derivatives).

Having regard for the structural relevance of said moieties in the  $\beta$ -turn

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structure of the peptide hormone somatostatin (see eg D1) , said given meanings of  $R_3$  in the present compounds are not regarded as obviously equivalents. Therefore, unity objections could arise at the regional phase for the following subject-matter:

- a) Claims 2-4, 19(part), 21 (part) Ph side chain serie ( $R_3 = CH_2Ph$ )
- b) Claims 5-8, claim 9 (part), 10(part), 11-14, 17(part), 19 (part), 20(part) , 21(part), 25, 26, 28 Trp side chain serie ( $R_3 = CH_2\text{-Indolyl-3-yl}$ )
- c) Claim 9 (part), 10(part), 15-16, 17 (part), 18, 19(part), 20 (part) 21(part), 27, 29 Lys side chain serie ( $R_3 = -(CH_2)_4-NH_2-$ )
- d) Furthermore, the present compounds wherein  $R_1$  and  $R_2$  are taken together with the nitrogen atoms to which they are attached to form a compound of formula (Ia), (Ib) or (Ic) represent a different structural contribution over the prior art than the one corresponding to the other meanings of  $R_1$  and  $R_2$ , namely the fact that the moiety  $NR_2$  and D (see D6) have been linked together in a rigid bicyclic structure.

V.i) The following documents have been taken into consideration:

D1 = J. Am. Chem. Soc. **1993**, 115, 12550-12568  
D2 = J. Am. Chem. Soc. **1992**, 114, 9217-9218  
D3 = Bioorganic & Medicinal Chemistry Letters 8 (1998) 1207-1210  
D4 = Bioorganic & Medicinal Chemistry Letters 6 (1996) 267-272  
D5 = Helvetica Chimica Acta 78 (1995) 1588-1606  
D6 = WO-A-9743278  
D7 = WO-9404494

- ii) The Applicant has not indicated the reason for the provisos in claims 1 and 22, since they can be relevant for the examination of the subject-matter of claims **2-21, 23-24** ( $R_3 = -CH_2\text{-indol-3-yl}$ ) and 25-29.
- iii) Claims **2-21, 23-24** ( $R_3 = -CH_2\text{-indol-3-yl}$ ) and 25-29 of the present application can be considered to be novel vis-à-vis D2-D5, mainly on account of the presence of the imidazole moiety (Art. 33(2)PCT).

Claims 2-21, 23-24 ( $R_3 = -CH_2\text{-indol-3-yl}$ ) and 25-29 of the present application can be considered to be novel vis-à-vis D6, mainly on account of the fact that  $R_3$  (see the present application) cannot be hydrogen (Art. 33(2)PCT).

Claims 4, 6, 19 and 20 encompass carbamate derivatives which can be considered to be novel vis-à-vis D7 mainly on account of the meaning of  $X_3$ .

- iv) The closest prior art available as so far (see point Vii) is considered to be D6 which also discloses imidazole derivatives having activity at the somatostatin receptors. Claims 2-21, 23-24 ( $R_3 = -CH_2\text{-indol-3-yl}$ ) and 25-29 of the present application differ from the compounds disclosed in D6 mainly due to the specific meanings of  $R_3$  and the pattern of substitution ( $R_5$ ) of the imidazole derivative. Said specific combinations of meanings of  $R_3$  and  $R_5$  lead to compounds which are not regarded in principle as obvious structural variations of the compounds disclosed in D6.

The problem underlying the invention is therefore considered to be the provision of further imidazole derivatives having activity at the somatostatin receptor. At present it is not possible to assess whether said problem has been actually been solved in the whole scope claimed, since the description merely relates to a disclosure of the test methods.

It should be also noticed that D6 relates only to urea and thiourea derivatives (see the meaning of  $R_4$  in the present application). The present application claims also carbamate derivatives which are structurally close to the compounds of D7. However, the compounds of D7 have been disclosed as having a different activity, namely as nonpeptide tachykinin antagonists. Therefore, data should have been provided of the activity of the present carbamate derivatives at the somatostatin receptor.

It should be borne in mind that only compounds which are suitable for solving the problem underlying the present application can be claimed.

The attention of the applicant is directed to the fact that the presence of an inventive step should have been made credible in the whole scope claimed,

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namely for the different combinations of  $R_3$  and  $R_5$  and for the compounds where  $R_1$  and  $R_2$  are taken together with the nitrogen atoms to which they are attached to form a compound of formula (Ia), (Ib) or (Ic) (see also point IV).

- VII. To meet the requirements of Rule 5.1(a)(ii)PCT, the documents D2-D3 and D5-D7 should have been identified in the description and the relevant background art disclosed therein should have been briefly discussed.
- VIII. Claims 1 and 22 have been formulated as independent claims. The Applicant has not indicated the reasons therefor. It would appear that the subject-matter of claim 1 falls within the definition of claim 22. The Applicant has not clarified the reasons for the fact that the proviso on page 334 lines 12-13 in claim 22 does not apply to claim 1.

The expression "prodrug" does not clearly define the subject-matter for which protection is sought with regard to the chemical structure of the compounds encompassed within said definition. Furthermore, suitable prodrugs have to be designed for every specific compound with the requirement of no negligible ingenuity. Therefore, said expression is considered to put an undue burden of experimentation on the person skilled in the art in order to determine which compounds actually fall within said definition and to know where the border lies.

The expression "prodrug" should therefore have been deleted (Art. 5, 6 and 33(3)PCT).



Hungarian Patent  
Office

# NOVELTY SEARCH REPORT

Application No. P0202648

Category	P C T	Identification data of relevant documents	Relevant to claim No	Classification of the application IPC 6
A	*	International Search Report issued in connection with the PCT/US99/12760 (WO 99/64401) HU 218,460 B (28. 09. 1987), abstract, claims	1, 30	C07D40306 C07D23364 C07D48704 C07D40114 C07D40514 C07D40314 A61K 314184 A61K 314164 A61K 314985 A61P 100  Examined special field IPC 6  C07D A61K A61P
Date: 30. 10. 2002		Person performing the search: Dr. Dániel RÁCZ		
* from the PCT Search Report <b>Categories of relevant documents:</b>  X: document comprising all the essential features of the examined solution  Y: document comprising all the essential features of the examined solution in combination with one or two other documents  A: document defining the state of art		O: document referring to public use, exploitation, oral communication, exhibition or any other type of disclosure  P: document published prior to the Hungarian filing date but later than the priority date claimed  E: Hungarian patent or utility model specification having an earlier priority date and being published after the priority date of the examined application  D: document cited by applicant as belonging to the state of the art in the examined application  &: document member of the same patent family (analogue)		

# PATENT COOPERATION TREATY

YRT

From the INTERNATIONAL SEARCHING AUTHORITY

PCT

To:  
FISH & RICHARDSON P.C.  
225 Franklin Street  
Boston, Massachusetts 02110  
UNITED STATES OF AMERICA

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL SEARCH REPORT  
OR THE DECLARATION

(PCT Rule 44.1)

FILING SECRETARY	
response to (app) 0123100	Date of mailing (day/month/year) 23/12/1999
Applicant's or agent's file reference 00537/178W01	FOR FURTHER ACTION See paragraphs 1 and 4 below
International application No. PCT/US 99/12760	International filing date (day/month/year) 08/06/1999
Applicant SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATION	

1. ☒ The applicant is hereby notified that the International Search Report has been established and is transmitted herewith.

Filing of amendments and statement under Article 19:  
The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 48):

When? The time limit for filing such amendments is normally 2 months from the date of transmittal of the International Search Report; however, for more details, see the notes on the accompanying sheet.

Where? Directly to the International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland  
Facsimile No.: (41-22) 740.14.35

For more detailed instructions, see the notes on the accompanying sheet.

2. ☐ The applicant is hereby notified that no International Search Report will be established and that the declaration under Article 17(2)(a) to that effect is transmitted herewith.

3. ☐ With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

☐ the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.

☐ no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

4. Further action(s): The applicant is reminded of the following:

Shortly after 18 months from the priority date, the International application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the International application, or of the priority claim, must reach the International Bureau as provided in Rules 90bis.1 and 90bis.3, respectively, before the completion of the technical preparations for International publication.

Within 19 months from the priority date, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later).

Within 20 months from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected in the demand or in a later election within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

resp. to RPT 2/23/00  
URT (001) 3/23/00  
LXA

Name and mailing address of the International Searching Authority European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl Fax (+31-70) 340-3016	Authorized officer Renate Jordan
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## NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

### INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

#### What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

#### When?

Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

#### Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been/is filed, see below.

#### How?

Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

#### What documents must/may accompany the amendments?

##### Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

## NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

- (i) the claim is unchanged;
- (ii) the claim is cancelled;
- (iii) the claim is new;
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

1. [Where originally there were 48 claims and after amendment of some claims there are 51]:  
"Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
2. [Where originally there were 15 claims and after amendment of all claims there are 11]:  
"Claims 1 to 15 replaced by amended claims 1 to 11."
3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:  
"Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or  
"Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."
4. [Where various kinds of amendments are made]:  
"Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

### "Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

It must be in the language in which the international application is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

### Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

### Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

## PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>00537/178W01</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/US 99/ 12760</b>	International filing date (day/month/year) <b>08/06/1999</b>	(Earliest) Priority Date (day/month/year) <b>12/06/1998</b>

Applicant

SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATION

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

## 1. Basis of the report

- a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ Certain claims were found unsearchable (See Box I).

3. ☐ Unity of invention is lacking (see Box II).

## 4. With regard to the title,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

**IMIDAZOLYL DERIVATIVES AND THEIR USE AS SOMATOSTATIN RECEPTOR LIGANDS**

## 5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

## 6. The figure of the drawings to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 99/ 12760

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Remark: Although claims 31 AND 32  
are directed to a method of treatment of the human/animal  
body, the search has been carried out and based on the alleged  
effects of the compound/composition.
2. ☒ Claims Nos.: 1,22,30,31,32 part.  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such  
an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all  
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment  
of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report  
covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is  
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1, 22, 30,31,32 partially

Present claims 1, 22, 30, 31, 32 relate to an extremely large number of possible compounds/compositions. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claim which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples and closely related homologous compounds .

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

**PCT/US 99/12760**

IPC 6 C07D233/54 C07D403/06 C07D405/14 C07D487/04 A61K31/505  
A61K31/415

**B. FIELDS SEARCHED**

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

### C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 43278 A (NOVO NORDISK A/S) 20 November 1997 (1997-11-20) the whole document	1,30
A	WO 94 04494 A (WARNER-LAMBERT COMPANY) 3 March 1994 (1994-03-03) the whole document	1,30
	-/-	

**X** Patent family members are listed in annex.

**"&" document member of the same patent family**

**23/12/1999**

**Kyriakakou, G**



# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/12760

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>RALPH HIRSSCHMANN ET AL.: "De Novo design and synthesis of Somatostatin Non-peptide Peptidomimetics Utilizing beta-D-glucose as a novel Scaffolding" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 115, no. 26, 19 December 1993 (1993-12-19), pages 12550-12568, XP002124710 columbus ohio cited in the application the whole document</p>	1,30
A	<p>RALPH HIRSCHMANN ET AL.: "Nonpeptidal Peptidomimetics with a beta-D-glucose Scaffolding" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 114, no. 23, 4 November 1992 (1992-11-04), pages 9217-9218, XP002124711 columbus ohio the whole document</p>	1,30
A	<p>RAINER ALBERT ET AL.: "Direct Synthesis of 'DOTA-Dphe!-Octreotide (SMT487): ....." BIOORGANIC &amp; MEDICINAL CHEMISTRY LETTERS, vol. 8, 1998, pages 1207-1210, XP002124712 great britain the whole document</p>	1,30
A	<p>CHRISTOS PAPAGEORGIOU ET AL.: "A non-peptide ligand for the Somatostatin Receptor having a benzodiazepinone structure." BIOORGANIC &amp; MEDICINAL CHEMISTRY LETTERS, vol. 6, no. 3, 1996, page 267-272 XP004135075 Great Britain cited in the application the whole document</p>	1,30
A	<p>DIETER GRAMBERG ET AL.: "Synthesis of a Type VIbeta-turn peptide mimetic and its incorporation into a high affinity somatostatin receptor ligand." HELVETICA CHIMICA ACTA, vol. 78, 1995, pages 1588-1606, XP000612160 the whole document</p>	1,30

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 99/12760

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9743278	A	20-11-1997	AU 2764797 A EP 0912551 A	05-12-1997 06-05-1999
WO 9404494	A	03-03-1994	AU 687754 B AU 5005593 A EP 0655055 A JP 8500361 T NZ 255721 A US 5981755 A US 5594022 A US 5716979 A US 5856354 A	05-03-1998 15-03-1994 31-05-1995 16-01-1996 24-06-1997 09-11-1999 14-01-1997 10-02-1998 05-01-1999

# PATENT COOPERATION TREATY

YRT

From the  
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

PCT

To:

TSAO, Y., Rocky  
Fish & Richardson, P.C.  
225 Franklin Street  
Boston, MA 02110-2804  
ETATS-UNIS D'AMERIQUE

**RECEIVED**  
JUL 05 2000  
FISH & RICHARDSON, P.C.  
BOSTON OFFICE

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT  
(PCT Rule 71.1)

Date of mailing (day/month/year)	30.06.2000
-------------------------------------	------------

Applicant's or agent's file reference

005377/178461

**IMPORTANT NOTIFICATION**

International application No. PCT/US99/12760	International filing date (day/month/year) 08/06/1999	Priority date (day/month/year) 12/06/1998
---	--	--

Applicant

SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATION

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

**4. REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

LXA

Name and mailing address of the IPEA/



European Patent Office  
D-80298 Munich  
Tel. +49 89 2399 - 0 Tx: 523656 epmu d  
Fax: +49 89 2399 - 4465

Authorized officer

Ambroa, J.R.

Tel. +49 89 2399-8012



## PATENT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Assistant Commissioner for Patents  
 United States Patent and Trademark  
 Office  
 Box PCT  
 Washington, D.C. 20231  
 ÉTATS-UNIS D'AMÉRIQUE

in its capacity as elected Office

<b>Date of mailing (day/month/year)</b> 15 February 2000 (15.02.00)	<b>Applicant's or agent's file reference</b> 00537/178WO1
<b>International application No.</b> PCT/US99/12760	<b>Priority date (day/month/year)</b> 12 June 1998 (12.06.98)
<b>International filing date (day/month/year)</b> 08 June 1999 (08.06.99)	
<b>Applicant</b> THURIEAU, Christophe, Alain et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:

11 January 2000 (11.01.00)

☐ in a notice effecting later election filed with the International Bureau on:2. The election ☒ was☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

<b>The International Bureau of WIPO</b> 34, chemin des Colombettes 1211 Geneva 20, Switzerland	<b>Authorized officer</b> Juan Cruz
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

PCT

NOTIFICATION OF THE RECORDING  
OF A CHANGE

(PCT Rule 92bis.1 and  
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

TSAO, Y., Rocky  
Fish & Richardson, P.C.  
225 Franklin Street  
Boston, MA 02110-2804  
ETATS-UNIS D'AMERIQUE

Date of mailing (day/month/year) 21 December 2000 (21.12.00)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference 00537/178WO1	
International application No. PCT/US99/12760	International filing date (day/month/year) 08 June 1999 (08.06.99)

1. The following indications appeared on record concerning:		
<input checked="" type="checkbox"/> the applicant	<input type="checkbox"/> the inventor	<input type="checkbox"/> the agent
<input type="checkbox"/> the common representative		
Name and Address SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATIONS SCIENTIFIQUES, S.A. 51-53, rue du Docteur Blanche F-75016 Paris France	State of Nationality FR	State of Residence FR
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:		
<input type="checkbox"/> the person	<input checked="" type="checkbox"/> the name	<input type="checkbox"/> the address
<input type="checkbox"/> the nationality		
<input type="checkbox"/> the residence		
Name and Address SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATIONS SCIENTIFIQUES SAS 51-53, rue du Docteur Blanche F-75016 Paris France	State of Nationality FR	State of Residence FR
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
3. Further observations, if necessary:		
4. A copy of this notification has been sent to:		
<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned	
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned	
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other:	

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer R. Raissi
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

NO DOCKETING REQUIRED

Reviewed By Practice Systems

Initials KYM On                     

PATENT COOPERATION TREATY

WO 99/64401  
PCT/US99/1276

From the INTERNATIONAL BUREAU

To:

TSAO, Y., Rocky  
Fish & Richardson, P.C.  
225 Franklin Street  
Boston, MA 02110-2804  
ÉTATS-UNIS D'AMÉRIQUE

NOTICE INFORMING THE APPLICANT OF THE  
COMMUNICATION OF THE INTERNATIONAL  
APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

RECEIVED

Date of mailing (day/month/year) 16 December 1999 (16.12.99)			DEC 27 1999
Applicant's or agent's file reference 00537/178WO1			FISH & RICHARDSON, PC BOSTON OFFICE
International application No. PCT/US99/12760	International filing date (day/month/year) 08 June 1999 (08.06.99)	Priority date (day/month/year) 12 June 1998 (12.06.98)	
Applicant SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATIONS SCIENTIFIQUES, S.A. et al			

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:  
AU,CN,EP,IL,JP,KP,KR,US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:  
AE,AL,AM,AP,AT,AZ,BA,BB,BG,BR,BY,CA,CH,CU,CZ,DE,DK,EA,EE,ES,FI,GB,GE,GH,GM,HR,HU,  
ID,IN,IS,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MD,MG,MK,MN,MW,MX,NO,NZ,OA,PL,PT,RO,RU,SD,  
SE,SG,SI,SK,SL,TJ,TM,TR,TT,UA,UG,UZ,VN,YU,ZA,ZW  
The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).
3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on  
16 December 1999 (16.12.99) under No. WO 99/64401

REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer J. Zahra
Facsimile No. (41-22) 740.14.35	Telephone No. (41-22) 338.83.38

PCT

## REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

or receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference  
(if desired) (12 characters maximum) 00537/178WO1

**Box No. I TITLE OF INVENTION**  
IMIDAZOLYL DERIVATIVES

**Box No. II APPLICANT**

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

SOCIETE DE CONSEILS DE RECHERCHES ET D'APPLICATIONS  
SCIENTIFIQUES, S.A.  
51-53 rue du Docteur Blanche  
75016 Paris  
FRANCE

☐ This person is also inventor.

Telephone No.

Facsimile No.

Teleprinter No.

State (that is, country) of nationality:  
FR

State (that is, country) of residence:  
FR

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated the Supplemental B.

**Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)**

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

THURIEAU, Christophe Alain  
84, avenue Kleber  
75116, Paris  
FRANCE

This person is:

☐ applicant only

☒ applicant and inventor

☐ inventor only (If this check-box is marked, do not fill in below)

State (that is, country) of nationality:  
FR

State (that is, country) of residence:  
FR

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated the Supplemental B

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

**Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE**

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:

☒ agent ☐ common representative

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)

Y. Rocky Tsao  
FISH & RICHARDSON, P.C.  
225 Franklin Street  
Boston, Massachusetts 02110-2804  
UNITED STATES OF AMERICA

Telephone No.  
(617) 542-5070

Facsimile No.  
(617) 542-8906

Teleprinter No.

☐ Address for correspondence: Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

## Continuation Sheet No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTOR(S)

*If none of the following sub-boxes is used, this sheet is not to be included in the request.*

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

POITOUT, Lydie Francine  
25 rue de la Vistule  
75013, Paris  
FRANCE

This person is:

- ☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:  
FR

State (that is, country) of residence:  
FR

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Bo:

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

GALCERA, Marie-Odile  
2, allée Jacques Anquetil  
91070, Bondoufle  
FRANCE

This person is:

- ☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:  
FR

State (that is, country) of residence:  
FR

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Bo:

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

GORDON, Thomas D.  
6 Rainbow Drive  
Medway, Massachusetts 02053  
UNITED STATES OF AMERICA

This person is:

- ☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:  
US

State (that is, country) of residence:  
US

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Bo:

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

MORGAN, Barry  
237 Prospect Street  
Franklin, Massachusetts 02038  
UNITED STATES OF AMERICA

This person is:

- ☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:  
GB

State (that is, country) of residence:  
US

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Bo:

☒ Further applicants and/or (further) inventors are indicated on another continuation sheet.



## Continuation of Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTOR(S)

*If none of the following sub-boxes is used, this sheet is not to be included in the request.*

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

MOINET, Christophe Philippe  
148, rue d'Aulnay  
92290, Chatenay Malabry  
FRANCE

This person is:

- ☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:  
FR

State (that is, country) of residence:  
FR

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

This person is:

- ☐ applicant only  
☐ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

State (that is, country) of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

This person is:

- ☐ applicant only  
☐ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

State (that is, country) of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

This person is:

- ☐ applicant only  
☐ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

State (that is, country) of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

☐ Further applicants and/or (further) inventors are indicated on another continuation sheet.

## Box No.V DESIGNATION OF STATES

The following designations are hereby made under Rule 4.9(a) (mark the applicable check-boxes: at least one must be marked):

## Regional Patent

- ☒ AP **ARIPO Patent:** GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, SD Sudan, SZ Swaziland, UG Uganda, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT
- ☒ EA **Eurasian Patent:** AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakhstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT
- ☒ EP **European Patent:** AT Austria, BE Belgium, CH and LI Switzerland and Liechtenstein, CY Cyprus, DE Germany, DK Denmark, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT
- ☒ OA **OAPI Patent:** BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (if other kind of protection or treatment desired, specify on dotted line) .....

## National Patent (if other kind of protection or treatment desired, specify on dotted line):

- |  |  |
|--|--|
| <input checked="" type="checkbox"/> AL Albania .....                               | <input checked="" type="checkbox"/> LS Lesotho .....                                   |
| <input checked="" type="checkbox"/> AM Armenia .....                               | <input checked="" type="checkbox"/> LT Lithuania .....                                 |
| <input checked="" type="checkbox"/> AT Austria .....                               | <input checked="" type="checkbox"/> LU Luxembourg .....                                |
| <input checked="" type="checkbox"/> AU Australia .....                             | <input checked="" type="checkbox"/> LV Latvia .....                                    |
| <input checked="" type="checkbox"/> AZ Azerbaijan .....                            | <input checked="" type="checkbox"/> MD Republic of Moldova .....                       |
| <input checked="" type="checkbox"/> BA Bosnia and Herzegovina .....                | <input checked="" type="checkbox"/> MG Madagascar .....                                |
| <input checked="" type="checkbox"/> BB Barbados .....                              | <input checked="" type="checkbox"/> MK The former Yugoslav Republic of Macedonia ..... |
| <input checked="" type="checkbox"/> BG Bulgaria .....                              | <input checked="" type="checkbox"/> MN Mongolia .....                                  |
| <input checked="" type="checkbox"/> BR Brazil .....                                | <input checked="" type="checkbox"/> MW Malawi .....                                    |
| <input checked="" type="checkbox"/> BY Belarus .....                               | <input checked="" type="checkbox"/> MX Mexico .....                                    |
| <input checked="" type="checkbox"/> CA Canada .....                                | <input checked="" type="checkbox"/> NO Norway .....                                    |
| <input checked="" type="checkbox"/> CH and LI Switzerland and Liechtenstein .....  | <input checked="" type="checkbox"/> NZ New Zealand .....                               |
| <input checked="" type="checkbox"/> CN China .....                                 | <input checked="" type="checkbox"/> PL Poland .....                                    |
| <input checked="" type="checkbox"/> CU Cuba .....                                  | <input checked="" type="checkbox"/> PT Portugal .....                                  |
| <input checked="" type="checkbox"/> CZ Czech Republic .....                        | <input checked="" type="checkbox"/> RO Romania .....                                   |
| <input checked="" type="checkbox"/> DE Germany .....                               | <input checked="" type="checkbox"/> RU Russian Federation .....                        |
| <input checked="" type="checkbox"/> DK Denmark .....                               | <input checked="" type="checkbox"/> SD Sudan .....                                     |
| <input checked="" type="checkbox"/> EE Estonia .....                               | <input checked="" type="checkbox"/> SE Sweden .....                                    |
| <input checked="" type="checkbox"/> ES Spain .....                                 | <input checked="" type="checkbox"/> SG Singapore .....                                 |
| <input checked="" type="checkbox"/> FI Finland .....                               | <input checked="" type="checkbox"/> SI Slovenia .....                                  |
| <input checked="" type="checkbox"/> GB United Kingdom .....                        | <input checked="" type="checkbox"/> SK Slovakia .....                                  |
| <input checked="" type="checkbox"/> GE Georgia .....                               | <input checked="" type="checkbox"/> SL Sierra Leone .....                              |
| <input checked="" type="checkbox"/> GH Ghana .....                                 | <input checked="" type="checkbox"/> TJ Tajikistan .....                                |
| <input checked="" type="checkbox"/> GM Gambia .....                                | <input checked="" type="checkbox"/> TM Turkmenistan .....                              |
| <input checked="" type="checkbox"/> GW Guinea-Bissau .....                         | <input checked="" type="checkbox"/> TR Turkey .....                                    |
| <input checked="" type="checkbox"/> HR Croatia .....                               | <input checked="" type="checkbox"/> TT Trinidad and Tobago .....                       |
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**Supplemental Box**

*If the Supplemental Box is not used, this sheet need not be included in the request.*

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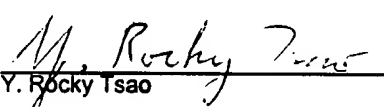
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**Continuation of Box V**

Continuation of USSN 09/096,431 filed 12 June 1998 (12/6/98) and  
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<b>Box No. VI PRIORITY CLAIM</b>		<input type="checkbox"/> Further priority claims are indicated in the Supplemental Box.		
Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country	regional application: regional Office	international application: receiving Office
item (1) 12 June 1998 12/6/98	09/096,431			
item (2) 12 June 1998 12/6/98	60/089,087			
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<input checked="" type="checkbox"/> The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s): <u>(1) and (2)</u> <small>* Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.</small>				
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Date	8 June 1999
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Applicant's Docket No.	00537/178WO1

I. Certification under 37 CFR 1.10 (if applicable)

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Express Mail mailing number

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I hereby certify that the application/correspondence attached hereto is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to Assistant Commissioner for Patents, Washington, D.C. 20231.

<i>Alison Brazil</i>
Signature of person mailing correspondence

Alison Brazil
Typed or printed name of person mailing correspondence

II. ☒ New International Application

TITLE	IMIDAZOLYL DERIVATIVES
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Earliest priority date (Day/Month/Year)
12 June 1998

**SCREENING DISCLOSURE INFORMATION:** In order to assist in screening the accompanying international application for purposes of determining whether a license for foreign transmittal should and could be granted and for other purposes, the following information is supplied. (Note: check as many boxes as apply):

- A. ☐ The invention disclosed was not made in the United States.
- B. ☐ There is no prior U.S. application relating to this invention.
- C. ☒ The following prior U.S. application(s) contain subject matter which is related to the invention disclosed in the attached international application. (NOTE: priority to these applications may or may not be claimed on form PCT/RO/101 (Request) and this listing does not constitute a claim for priority).

application no.	09/096,431	filed on	12 June 1998 (12/6/98)
application no.	60/089,087	filed on	12 June 1998 (12/6/98)

- D. ☐ The present international application ☐ is identical ☐ contains less subject matter than that found in the prior U.S. application(s) identified in paragraph C.
- E. ☒ The present international application ☐ contains additional subject matter not found in the prior U.S. application(s) identified in paragraph C. above. The additional subject matter is found on pages throughout and ☒ DOES NOT ALTER ☐ MIGHT BE CONSIDERED TO ALTER the general nature of the invention in a manner which would require the U.S. application to have been made available for inspection by the appropriate defense agencies under 35 U.S.C. 181 and 37 CFR 5.1. See 37 CFR 5.15

III. ☐ A Response to an Invitation from the RO/US. The following document(s) is (are) enclosed:

- A. ☐ A Request for An Extension of Time to File a Response
- B. ☐ A Power of Attorney (General or Regular)
- C. ☐ Replacement pages:

pages		of the request (PCT/RO/101)	pages		of the figures
pages		of the description	pages		of the abstract
pages		of the claims			

- D. ☐ Submission of Priority Documents

Priority document		Priority document	
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- E. ☐ Fees as specified on attached Fee Calculation sheet form PCT/RO/101 annex

IV. ☐ A Request for Rectification under PCT 91 ☐ A Petition ☐ A Sequence Listing Diskette

V. ☒ Other (please specify): Postcard, check, General Power of Attorney, six (6) Specific Powers of Attorney

The person signing this form is the:	<input type="checkbox"/> Applicant	Y. Rocky Tsao
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	<input type="checkbox"/> Common Representative	<i>Y. Rocky Tsao</i> Signature



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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Filed on	12 June 1998 (12.06.98)
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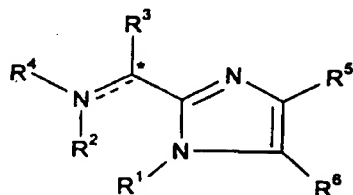
(74) Agent: TSAO, Y., Rocky; Fish &amp; Richardson, P.C., 225 Franklin Street, Boston, MA 02110-2804 (US).

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(54) Title: IMIDAZOLYL DERIVATIVES



(I)

## (57) Abstract

The present invention is directed to imidazolyl derivatives of formula (I) where the substituents are defined in the specification, which are useful as agonists or antagonists of somatostatin receptors.

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